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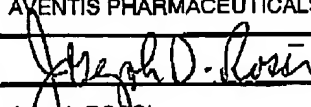
PTO/SB/21 (09-04)

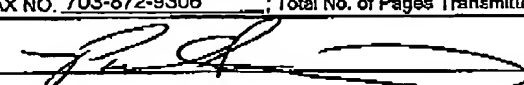
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TRANSMITTAL FORM (to be used for all correspondence after initial filing)	Application Number	10/787,367	
	Filing Date	February 26, 2004	
	First Named Inventor	Michael GRAUPE et al.	
	Art Unit	1626	
	Examiner Name	Coppins, Janet	
Total Number of Pages in This Submission	17	Attorney Docket Number	USAV2001/0143 US CNT

ENCLOSURES (Check all that apply)		
<input type="checkbox"/> Fee Transmittal Form	<input type="checkbox"/> Drawing(s)	<input type="checkbox"/> After Allowance Communication to TC
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Date	May 18, 2005	Reg. No.	47038

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GRAUPE, et al.

Examiner: Coppins, Janet


MAY 18 2005

Art Unit: 1626

Application No.: 10/787,367

Filed: February 26, 2004

Title: **NOVEL COMPOUNDS AND
COMPOSITIONS AS CATHEPSIN
INHIBITORS**

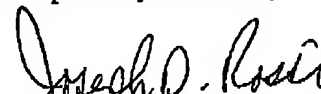
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Respectfully submitted,

Joseph D. Rossi, Reg. No. 47,038
Attorney/Agent for ApplicantAventis Pharmaceuticals Inc.
Patent Department
Route #202-206 / P.O. Box 6800
Bridgewater, NJ 08807-0800
Telephone (908) 231-3410
Telefax (908) 231-2626

Aventis Docket No. USAV2001/0143 US CNT

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of
GRAUPE, et al.

Examiner: Coppins, Janet

Art Unit: 1626

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Applicants submit herewith patents, publications, and other information of which they are aware, which they believe may be material, as defined in 37 C.F.R. 1.56(b), to the examination of this application and in respect of which there may be a duty to disclose in accordance with 37 C.F.R. 1.56(a). While the information referred to in this Information Disclosure Statement may be material pursuant to 37 C.F.R. 1.56(b), the filing of this Information Disclosure Statement is not intended to, pursuant to 37 C.F.R. 1.97(h), constitute an admission that any patent, publication or other information referred to is, or is considered to be, material to the patentability of this invention. Pursuant to 37 C.F.R. 1.97(g), the filing of this Information Disclosure Statement shall not be construed to mean that a search has been made or that no other material information exists.

- ☐ (a) This Information Disclosure Statement is filed within the period set forth in §1.97(b) because it accompanies the new patent application submitted herewith, is filed within three months of the filing date of a national application or within three months of the date of entry of the national stage as set forth in §1.491 in an international application, or is believed to be filed before the mailing date of a first Office Action on the merits, whichever event occurs last. However, in the event that the first office action has been mailed, the Commissioner is authorized to charge any fees under 37 C.F.R. 1.17(p) or credit any overpayment to Account No. 18-1982.

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- ☐ (b) This Information Disclosure Statement is filed after the period set forth in 37 C.F.R. 1.97(b), but is believed to be filed before the mailing date of a final action under §1.113 or a notice of allowance under §1.311, whichever occurs first.
- ☐ (1) The undersigned attorney certifies that each item of information contained in this Information Disclosure Statement was cited in a communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of this statement;
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A concise explanation of the relevance of some or all of the items listed on the attached PTO-1449 (modified) is as follows:

The items listed on pages 1-13 of the attached PTO-1449 have been previously submitted to the Patent Office or cited by the Examiners during the prosecution of the following patents or patent applications commonly owned by the assignees of record of the present case:

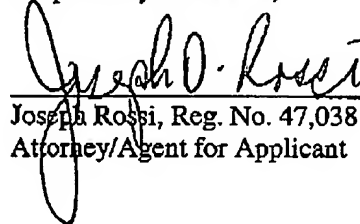
U.S. Patent Nos. 6,576,630, 6,506,733, 6,455,502 and 6,492,362; and

U.S. Patent Application Nos. 10/035,783, 10/478,632, 10/719,080, 10/418,183 and 10/294,526.

Therefore, a copy of the reference is not enclosed with this Information Disclosure Statement.


However, the applicant will furnish a copy of any listed reference, if so requested by the Examiner.

Respectfully submitted,



Joseph Rossi, Reg. No. 47,038
Attorney/Agent for Applicant

Aventis Pharmaceuticals Inc.
Patent Department
Route #202-206 / P.O. Box 6800
Bridgewater, NJ 08807-0800
Telephone (908) 231-3410
Telefax (908) 231-2626
Aventis Docket No. USAV2001/0143 US CNT

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INFORMATION DISCLOSURE STATEMENT BY APPLICANT <i>(use as many sheets as necessary)</i>				Application Number	10/787,367
				Filing Date	02/26/2004
				First Named Inventor	GRAUPE
				Group Art Unit	1628
				Examiner Name	Coppins, Janet
Sheet	1	of	13	Attorney Docket Number	USAV2001/0143 - US - CNT

U.S. PATENT DOCUMENTS						
Examiner Initials*	Cite No. ¹	U.S. Patent Document		Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
		Number	Kind Code ² (If known)			
		4,927,809		STUBER, et al.	05-22-1990	
		5,424,325		ANDO, et al.	08-13-1995	
		5,486,623		ZIMMERMAN, et al.	01-23-1996	
		5,498,616		MALLANO, et al.	03-12-1996	
		5,847,135		BEMIS, et al.	12-08-1998	
		5,852,007		CHATTERJEE, et al.	12-22-1998	
		5,874,424		BATCHELOR, et al.	02-23-1999	
		5,998,390		RAMAMURTHY, et al.	12-07-1999	
		6,004,933		SPRUCE, et al.	12-21-1999	
		6,022,861		SCARBOROUGH, et al.	02-08-2000	
		6,114,310		CHAMBERLAND, et al.	09-05-2000	
		6,124,933		MILLER, et al.	12-26-2000	
		6,255,453		GYORKOS	07-03-2001	
		6,353,017		ALTMAN, et al.	03-05-2002	
		6,455,502		BRYANT, et al.	09-24-2002	
		6,478,026		BRYANT, et al.	11-05-2002	
		6,492,362		GRAUPE, et al.	12-10-2002	
		6,506,733		BUYSSE	01-14-2003	
		6,576,630		LINK, et al.	06-10-2003	

FOREIGN PATENT DOCUMENTS								
Examiner Initials*	Cite No. ¹	Foreign Patent Document			Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	Yes
		Office ³	Number ⁴	Kind Code ² (if known)				
		EP	EP 0272671		KRANTZ, et al.	06-29-1988		
		EP	EP 0291234		EDWARDS, et al.	11-17-1998		
		EP	EP 0355572		PAQUES, et al.	02-28-1990		
		EP	EP 0376012		ALBRIGHT, et al.	07-04-1990		
		EP	EP 0419683		HARA, et al.	04-03-1991		
		EP	EP 0536399		OKUBO, et al.	04-01-1993		
		EP	EP 0652009		DOVEY, et al.	10-05-1995		
		EP	EP 0754454		KOBAYASHI, et al.	01-22-1997		
		JP	JP 06192199		RYOICHI, et al.	07-12-1994		
		JP	JP 42009133		IRIKURA, et al.	05-06-1967		

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Substitute for form 1449A/PTO				Complete If Known	
INFORMATION DISCLOSURE STATEMENT BY APPLICANT <i>(use as many sheets as necessary)</i>				Application Number	10/787,367
				Filing Date	02/26/2004
				First Named Inventor	GRAUPE
				Group Art Unit	1626
				Examiner Name	Coppins, Janet
				Attorney Docket Number	USAV2001/0143 - US - CNT
Sheet	2	of	13		

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Examiner Initials*	Cite No. ¹	Foreign Patent Document			Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T ²
		Office ³	Number ⁴	Kind Code ⁵ (if known)				
		JP	JP 63303868		YOSHIDA, et al.	12-08-1988		
		WO	WO 00/48982		TUCKER, et al.	08-24-2000		
		WO	WO 00/49007		TUCKER, et al.	08-24-2000		
		WO	WO 00/49008		TUCKER, et al.	08-24-2000		
		WO	WO 00/51998		CYWIN, et al.	09-08-2000		
		WO	WO 00/59881		SINGH, et al.	10-12-2000		
		WO	WO 00/69855		QUIBELL, et al.	11-23-2000		
		WO	WO 01/09110		BEKKALI, et al.	02-08-2001		
		WO	WO 01/19796		GRAUPE, et al.	03-22-2001		
		WO	WO 01/19808		GRAUPE	03-22-2001		

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INFORMATION DISCLOSURE STATEMENT BY APPLICANT (use as many sheets as necessary)			Application Number	10/787,387
			Filing Date	02/26/2004
			First Named Inventor	GRAUPE
			Group Art Unit	1626
			Examiner Name	Coppins, Janet
			Attorney Docket Number	USAV2001/0143 - US - CNT
Sheet	6	of	13	

OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS			
Examiner Initials*	Cite No. ¹	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T ²
		ADAMS, et al., Potent and Selective Inhibitors of the Proteasom: Dipeptidyl Boronic Acids, Bioorganic & Medicinal Chemistry Letters, 8: 333-338 (1998).	
		ASHWORTH, et al., 4-Cyanothiazolidides as very potent, stable inhibitors of dipeptidyl peptidase IV, Bioorganic & Med. Chem. Letters, B,Oxford, 6(22):2745-2748 (1996).	
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		BILLSON, et al., The Design and Synthesis of Inhibitors of the CysteinyI , Bioorg. Med. Chem. Lett. vol. 8, pp. 993-998, 1998	
		BROMME, et al., Potent Inactivation of Cathepsins B and L , Biol. Chem. Hoppe-Seyler. vol. 376, No. 5, pp. 343-347, 1994.	
		CHATTERJEE, et al., D-Amino Acid Containing, High-Affinity Inhibitors of Recombinant Human Calpain I, Journal of Medicinal Chemistry, vol. 41, No. 15, p: 2663-2668 (1998).	
		COHEN, et al., Therapy of relapsing multiple sclerosis. Treatment approaches for nonresponders, Journal of Neuroimmunology, 98: 29-38 (1999).	
		DUFOUR, et al., Engineering nitrile hydratase activity into a cysteine protease by a single mutation, Biochemistry, US, Am. Chem. Soc., Easton, PA, 34(50):16382-16388 (1995).	
		EDWARDS, et al., Design, Synthesis, and Kinetic Evaluation of a Unique Class of Elastase Inhibitors, the Peptidyl a-Ketobenzoxazoles, and the X-ray Crystal Structure of the Covalent Complex between Porcine Pancreatic Elastase and Ac-Ala-Pro-Val-2-Benzoxazole, Journal of American Chemical Society, vol. 114, No. 5, p 1854-1863 (1992).	
		EVOLI, et al., abstract only, Drugs, 1996, 52(5), 662-70	

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		Filing Date	02/26/2004
		First Named Inventor	GRAUPE
		Group Art Unit	1626
		Examiner Name	Copplins, Janet
		Attorney Docket Number	USAV2001/0143 - US - CNT
Sheet	7	of	13

OTHER PRIOR ART -- NON PATENT LITERATURE DOCUMENTS			
Examiner Initials ¹	Cite No. ¹	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), data, page(s), volume-issue number(s), publisher, city and/or country where published.	T ²
		GOUR-SALIN, et al., Inhibition of papain by peptide nitriles: conversion of the nitrile group into other functionalities via the papain:nitrile thiomidate ester adduct, Can. J. of Chem, CA, National Research Council, Ottawa, 69(8):1288-1297 (1991).	
		HALLEGUA, et al., Cyclosporine for lupus membranous nephritis: experience with ten patients and review of the literature, Lupus, 9: 241-251 (2000).	
		HANZLIK, et al., Reversible covalent binding of peptide nitriles to papain, Biochim. Biophys. Acta, vol. 1035, No. 1, 1990, pp. 62-70.	
		HARRIS, et al., Characteristics of a continuous fluorogenic assay for calpain I. Kinetic evaluation of peptide aldehydes, halomethyl ketones and (achalasia) methyl ketones as inhibitors of the enzyme, Chemical Abstracts, 110:7, Bioorg. Med. Chem. Lett, 5(4) 393-398 (1995).	
		HEITMILLER, R.F., abstract only., Semin. Thorac. Cardiovasc. Surg., 1999, 11(1), 41-6	
		KATRITZKY, et al., Benzotriazole-assisted synthesis of alpha-(acylamino) nitriles and a conceptually novel method for peptide elongation, Chem. Soc., Perkin Trans. 1(7):1853-1857 (1990).	
		KHAMASHTA, et al., Expert Opin. Investig. Drugs, 2000, 9(7), 1581-93.	
		KRANTZ, et al., Peptidyl (Acetoxy)methyl Ketones and the Quiescent, Biochemistry, vol. 30, pp. 4687-4697, 1991	
		LEVY, E.G., Baillieres Clin. Endocrinol. Metab., 1997, 11(3) 585-595	
		LI, et al., Aminoacylpyrrolidine-2-nitriles: Potent and stable inhibitors of dipeptidyl-peptidase IV (CD 26), Archives of Biochem. and Bioph., 323(1)148-154 (1995).	

Examiner Signature		Date Considered	
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			Filing Date	02/28/2004
			First Named Inventor	GRAUPE
			Group Art Unit	1626
			Examiner Name	Coppins, Janet
			Attorney Docket Number	USAV2001/0143 - US - CNT
Sheet	8	of	13	

OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS			
Examiner Initials*	Cite No. ¹	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T ²
		LIPSHUTZ, et al., Chiral induction in originally racemic amino acids via 5-acyl and 5-acyloxyaminooxazoles, 1st, J. Chem. 27(1):49-55 (1988), abstract.	
		LIPSHUTZ, et al., Heterocycles as masked diamide/dipeptide equivalents. Formation and reactions of substituted 5-(acylamino)oxazoles as intermediates en route to the cyclopeptide alkaloids, . Am. Chem. Soc., 105(26):7703-7713 (1983).	
		LIPSHUTZ, et al., Oxazolophanes as masked cyclopeptide alkaloid equivalents: cyclic peptide chemistry without peptide couplings, J. Am. Chem. Soc., 112(19):7032-7041 (1990).	
		MARQUIS, et al., Potent dipeptidylketone inhibitors of the cysteine protease cathepsin, Chemical Abstracts, 7:4 581-588 (1999).	
		MCMATH, et al., Direct dialkylation of peptide nitriles. Application of the synthesis of 1-aminocyclopropane-1 carboxylic acid (Acc)-containing dipeptides, Bull. Soc. Chim. Fr. 134(1):105-110 (1997).	
		MORIYA, et al., Synthesis and Hypolipidemic Activities of 5-Thienyl-4-oxazoleacetic Acid Derivatives, sup. 1, J. Med. Chem., 29: 333-341 (1986).	
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INFORMATION DISCLOSURE STATEMENT BY APPLICANT (use as many sheets as necessary)			Application Number	10/787,367
			Filing Date	02/26/2004
			First Named Inventor	GRAUPE
			Group Art Unit	1626
			Examiner Name	Coppins, Janet
			Attorney Docket Number	USAV2001/0143 - US - CNT
Sheet	9	of	13	

OTHER PRIOR ART – NON PATENT LITERATURE DOCUMENTS			
Examiner Initials ¹	Cite No. ²	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T ³
		PICKEN, et al., Inhibition of bovine cathepsin B by amino acid-derived nitriles, Biochemical Society Transactions, vol. 18, No. 2, p:316 (1990).	
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			Application Number	10/787,367	
			Filing Date	02/26/2004	
			First Named Inventor	GRAUPE	
			Group Art Unit	1626	
			Examiner Name	Coppins, Janet	
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		THOMPSON, et al., Carboxyl-modified amino acids and peptides as protease inhibitors, J. Med. Chem., 29(1):104-111 (1986).	
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			Filing Date	02/26/2004
			First Named Inventor	GRAUPE
			Group Art Unit	1626
			Examiner Name	Coppins, Janet
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			Application Number	10/787,367	
			Filing Date	02/26/2004	
			First Named Inventor	GRAUPE	
			Group Art Unit	1626	
			Examiner Name	Coppins, Janet	
Sheet	13	of	13	Attorney Docket Number	USAV2001/0143 - US - CNT

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		SHI, et al., Molecular Cloning and Expression of Human Alveolar Macrophage Cathepsin S, an Elastinolytic Cysteine Protease, J. Biol. Chem.; 1992; 267; pp.7258-7262.	
		SINGH, et al., beta-lactams as Enzyme Inhibitors., IDrugs; 2000; 3(5); pp.512-517.	
		VILLADANGOS, et al., Cathepsin S Activity Regulates Antigen Presentation and Immunity, J. Clin. Invest.; 1998; 101(10); pp.2351-2363.	

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